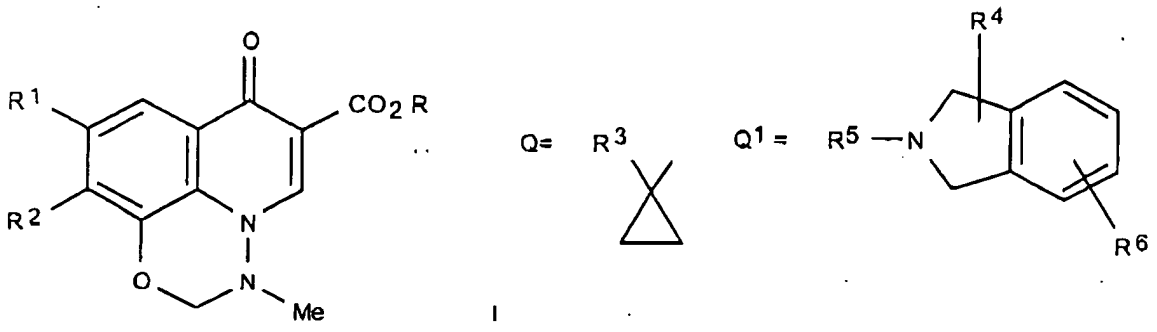
10/684,644

L9 ANSWER 7 OF 82 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:483939 CAPLUS
DOCUMENT NUMBER: 135:61359
TITLE: Preparation of quinolone derivatives as anti-bacterial agents
INVENTOR(S): Fukumoto, Ryoichi; Kusakabe, Hiroyuki; Tuong, Tsu; Kimura, Hiroaki; Yanagihara, Satoru; Kato, Masatoshi; Hirosawa, Chisato; Ishizuka, Seiji; Shizume, Fusae
PATENT ASSIGNEE(S): Sato Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 18 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001181281	A2	20010703	JP 1999-298473	19991020

PRIORITY APPLN. INFO.: JP 1999-290123 A 19991012
OTHER SOURCE(S): MARPAT 135:61359
GI



I

AB The title compds. (I; R = H, carboxy-protecting group; R1 = H, halo ; R2 = Q, Q1; wherein R3 = NH2, alkylamino, dialkylamino; R4 = H, halo, alkyl, alkenyl, cycloalkyl, aryl, alkoxy, alkylthio, HO, imino, NH2; R5 = H, amino-protecting group, alkyl, cycloalkyl; R6 = H, halo, lower alkyl, lower alkoxy, lower alkylthio, NO2, cyano, HO, NH2), isomers thereof, or pharmacol. acceptable salts thereof are prepared These quinolone derivs. possess potent anti-bacterial activity against gram pos. and neg. bacteria with reduced side effects in central nervous system such as induction of convulsion (spasm). Thus, (+)-2,3-dihydro-10-(1-methyl-2-tritylisoindolin-5-yl)-7-oxo-7H-pyrido[1,2,3-de][1,3,4]benzoxadiazine-6-carboxylic acid Et ester (preparation given) was dissolved in ethanol and THF, treated with 1 N HCl, and stirred at room temperature for 1 h, distilled under reduced pressure to remove the solvent, treated with H2O, washed with EtOAc, made pH at 11 by adding 1 N NaOH, treated with MeOH, and heated at 50.degree. for 3 h to

10/684,644

L9 ANSWER 1 OF 82 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:575041 CAPLUS
DOCUMENT NUMBER: 137:140338
TITLE: Preparation of aminoethanol derivatives as cholesteryl ester transfer protein inhibitors for treatment of hyperlipidemia, etc.
INVENTOR(S): Kori, Masakuni; Hamamura, Kazumasa; Fuse, Hiromitsu; Yamamoto, Toshihiro
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 748 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002059077	A1	20020801	WO 2002-JP200532	20020125

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2001-19280 A 20010126

AB The title compds. Ar1CH(OR'')CH(CH2Ar2)NR'R [Ar1 represents an optionally substituted aromatic ring group; Ar2 represents a substituted aromatic ring group; OR'' represents optionally protected hydroxy; R represents acyl; and R' represents hydrogen or optionally substituted hydrocarbyl] are prepared Compds. of this invention in vitro showed IC50 values of 0.0084 .mu.M to 0.4 .mu.M against cholesteryl ester transfer protein. A process for preparing the title compds. is claimed.

IT 53090-45-2P 73083-19-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of aminoethanol derivs. as cholesteryl ester transfer protein inhibitors for treatment of hyperlipidemia, etc.)

RN 53090-45-2 CAPLUS
CN Benzenepropanoic acid, .beta.-oxo-4-(phenylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)